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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 2/6/06
Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 1080443 CW
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

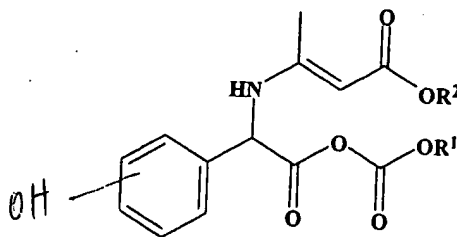
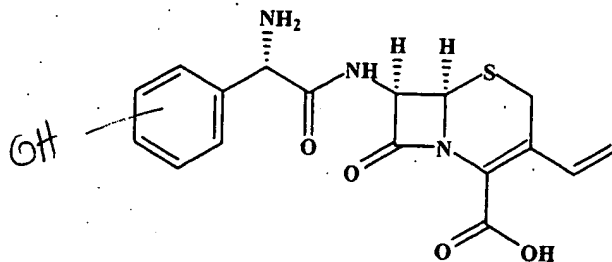
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

13.65 mtl. both of
these



R₁ = alkyl or R₂ = C₁₋₄ alkyl
write substituents

If you set fewer than 3 mtl, permit near both OR₁, R₂ to be

***** Point of Contact *****

STAFF USE ONLY
Alexandra Wacławski
Technical Info. Specialist
C-11 PAC 2 Tel: 508 449-1

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: 2-14Date Completed: 2-14Searcher Prep & Review Time: 15Online Time: 44

Type of Search

____ NA Sequence (#)

____ AA Sequence (#)

☒ Structure (#)

____ Bibliographic

____ Litigation

____ Fulltext

____ Other

Vendors and cost where applicable

243 TN _____ Dialog

____ Questel/Orbit _____ Lexis/Nexis

____ Westlaw _____ WWW/Internet

____ In-house sequence systems

____ Commercial _____ Oligomer _____ Score/Length
____ Interference _____ SPDI _____ Encode/Transl

____ Other (specify)

149

429

=> d his ful

(FILE 'HOME' ENTERED AT 13:05:34 ON 14 FEB 2006)

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D SAVE
ACT BERCH443/A

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L4      11 SEA ABB=ON  PLU=ON  L2 NOT L3
L5      42 SEA ABB=ON  PLU=ON  L2 NOT L4
L6      0 SEA ABB=ON  PLU=ON  L4 AND L5
    
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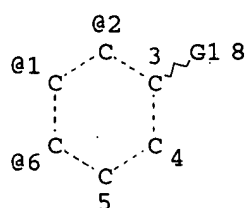
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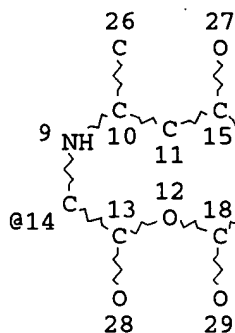
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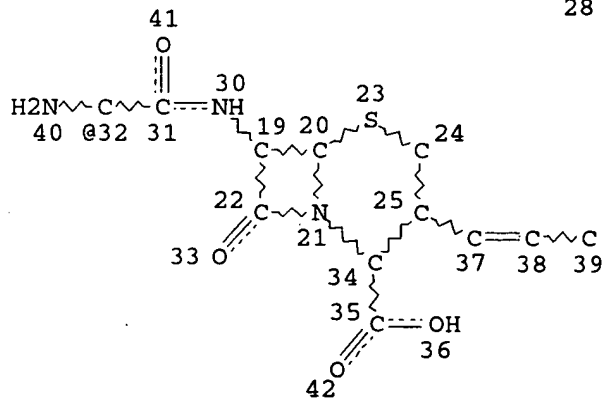
L1 STR



OH @7



left open for
any substitution



Berch 10/801,443

VAR G1=14/32
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CONNECT IS E3 RC AT 19
CONNECT IS E3 RC AT 20
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 42

STEREO ATTRIBUTES: NONE
L2 53 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 5636 ITERATIONS
SEARCH TIME: 00.00.01

53 ANSWERS

=> fil caplus

FILE 'CAPLUS' ENTERED AT 13:12:39 ON 14 FEB 2006

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FILE COVERS 1907 - 14 Feb 2006 VOL 144 ISS 8

FILE LAST UPDATED: 13 Feb 2006 (20060213/ED)

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<http://www.cas.org/infopolicy.html>

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos 19

L1	STR
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L3	87816 SEA FILE=REGISTRY ABB=ON PLU=ON 191.74/RID
L4	11 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT L3
L5	42 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT L4
L7	347 SEA FILE=CAPLUS ABB=ON PLU=ON L5
L8	13 SEA FILE=CAPLUS ABB=ON PLU=ON L4
L9	2 SEA FILE=CAPLUS ABB=ON PLU=ON L7 AND L8

=> d .ca hitstr 19 1-2

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:450973 CAPLUS

DOCUMENT NUMBER: 142:481876

TITLE: Process for preparation of 7-[α -amino(4-hydroxyphenyl)acetamido]-3-substituted-3-cephem-4-carboxylic acid

INVENTOR(S): Tyagi, Om Dutt; Rane, Dnyandev Ragho; Srivastava, Tushar Kumar; Sirsath, Krishnarao Tukaram

PATENT ASSIGNEE(S): Lupin Ltd., India

SOURCE: U.S. Pat. Appl. Publ., 12 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005113570	A1	20050526	US 2004-801443	20040315

PRIORITY APPLN. INFO.:

IN 2003-MU1031

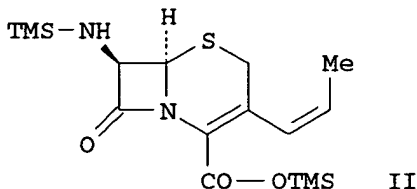
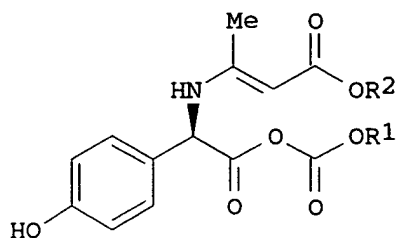
A 20030310

OTHER SOURCE(S):

CASREACT 142:481876; MARPAT 142:481876

ED Entered STN: 27 May 2005

GI



AB A process is described for the preparation of 7-[D- α -amino- α -(4-hydroxyphenyl)acetamido]-3-(1-propen-1-yl)-3-cephem-4-carboxylic acid (Cefprozil) in high yield and high purity, substantially free of impurities, which comprises preparation of mixed acid anhydride I (R1 = alkyl, aryl; R2 = Me, Et) by selecting the sequence and temperature of addition of the reagents and its subsequent condensation with a protected 7-APCA, followed by hydrolysis, isolation and purification to give Cefprozil in the form of a monohydrate. Thus, I (R1 = Et, R2 Me) was prepared from Et chloroformate with N-methylmorpholine and the potassium phenylacetate derivative, then condensed with II (preparation given), followed by HCl hydrolysis to give Cefprozil monohydrate.

IC ICM C07D501-00

INCL 540217000

CC 26-5 (Biomolecules and Their Synthetic Analogs)

IT **92665-29-7P**, Cefprozil **121123-17-9P**, Cefprozil monohydrate

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of Cefprozil via condensation of mixed anhydride with disilylated 7-APCA followed by hydrolysis)

IT **78858-51-2P** 851983-02-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of Cefprozil via condensation of mixed anhydride with disilylated 7-APCA followed by hydrolysis)

IT **92665-29-7P**, Cefprozil **121123-17-9P**, Cefprozil monohydrate

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

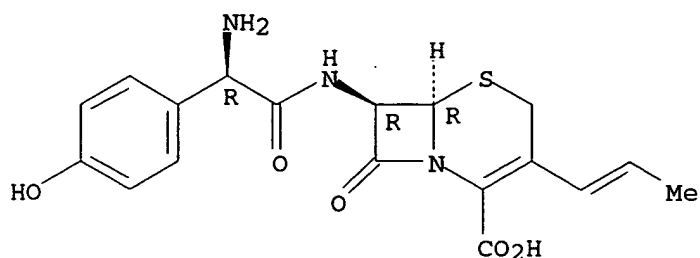
(preparation of Cefprozil via condensation of mixed anhydride with disilylated 7-APCA followed by hydrolysis)

RN 92665-29-7 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-,
 (6R,7R)- (9CI) (CA INDEX NAME)

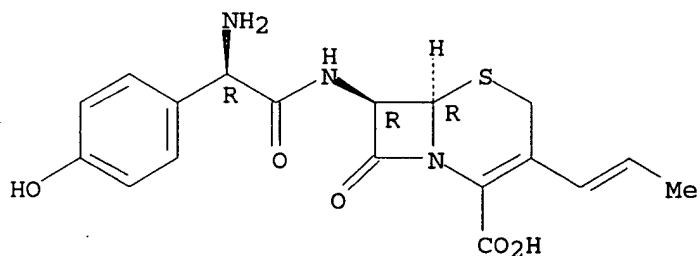
Absolute stereochemistry.

Double bond geometry unknown.



RN 121123-17-9 CAPLUS
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 7-[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-,
 monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)

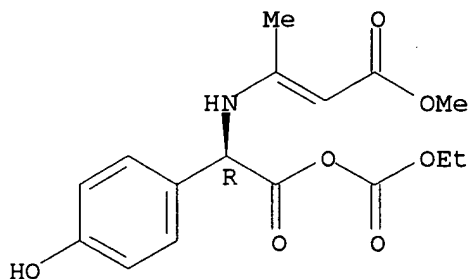
Absolute stereochemistry.
 Double bond geometry unknown.



● H₂O

IT 78858-51-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of Cefprozil via condensation of mixed anhydride with
 disilylated 7-APCA followed by hydrolysis)
 RN 78858-51-2 CAPLUS
 CN Benzeneacetic acid, 4-hydroxy- α -[(3-methoxy-1-methyl-3-oxo-1-
 propenyl)amino]-, anhydride with ethyl hydrogen carbonate, (α R)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:372931 CAPLUS

DOCUMENT NUMBER: 140:391158

TITLE: Process for preparing 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate

INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausaheb Pandharinath; Gurusamy, Kumar; Konda, Ramesh Athmaram

PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Limited, India

SOURCE: U.S. Pat. Appl. Publ., 10 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

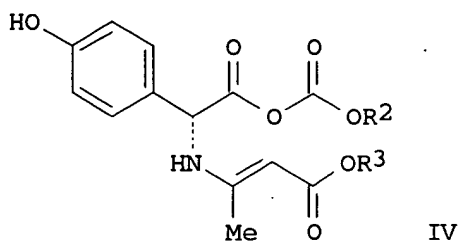
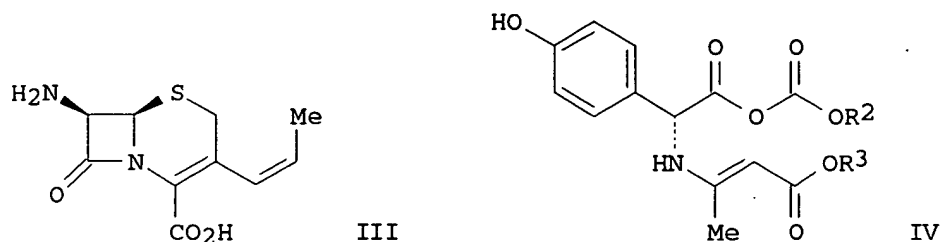
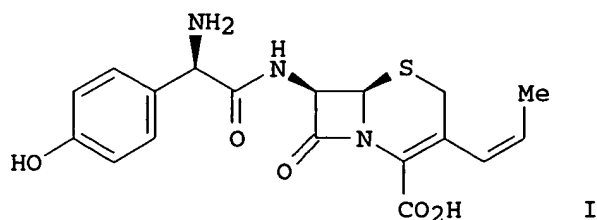
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US 2004087786	A1	20040506	US 2002-315010	20021210
US 6903211	B2	20050607		
WO 2004039812	A1	20040513	WO 2002-IB5459	20021218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1562957	A1	20050817	EP 2002-788375	20021218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.: IN 2002-MA800 A 20021030
WO 2002-IB5459 W 20021218

OTHER SOURCE(S): CASREACT 140:391158; MARPAT 140:391158

ED Entered STN: 07 May 2004

GI



AB The present invention relates to an improved process for the preparation of 3-propenyl cephalosporin (I) DMF solvate (II), more particularly, the present invention relates to an improved process for the preparation of cefprozil DMF solvate, which is useful for the preparation of cefprozil. Thus, 7-APCA (III) prepared from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate via a multistep synthetic sequence, was silylated with Me₃SiCl and (Me₃Si)₂NH in CH₂Cl₂ and reacted with (-)-D-(p-hydroxyphenyl)glycine Dane salt IV (R₂ = alkyl, Ph, CH₂Ph, cycloalkyl; R₃ = Me, Et, CHMe₂), in the presence of a halogenated solvent and solvation with DMF, afforded II. II was desolvated with water to provide cis-cefprozil I.

IC ICM C07D501-12

INCL 540217000

CC 26-5 (Biomolecules and Their Synthetic Analogs)

Section cross-reference(s): 7

IT **114876-74-3P** 685836-16-2P

RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)

IT **114876-72-1P 121412-77-9P**

RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)

IT 119608-72-9P 120635-31-6P 190790-65-9P 685836-15-1P
685836-17-3P 685836-20-8P 685836-21-9P 685836-22-0P
 685836-23-1P 685836-24-2P 685836-25-3P 685836-26-4P 685836-27-5P
 685836-28-6P 685836-29-7P 685836-30-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)

IT **114876-74-3P**

RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent)

(preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)

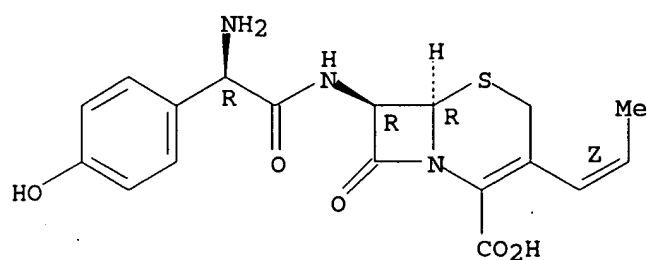
RN 114876-74-3 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1Z)-1-propenyl-
(6R,7R)-, compd. with N,N-dimethylformamide (9CI) (CA INDEX NAME)

CM 1

CRN 121412-77-9

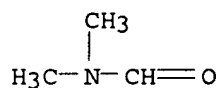
CMF C18 H19 N3 O5 S

Absolute stereochemistry.
Double bond geometry as shown.

CM 2

CRN 68-12-2

CMF C3 H7 N O

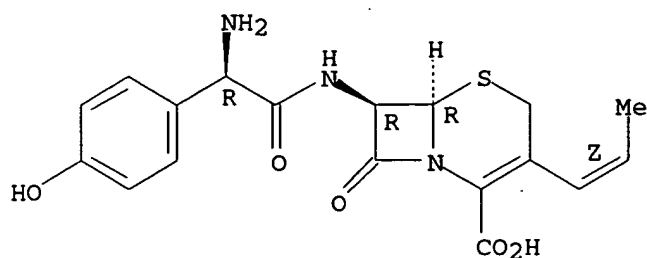


IT 114876-72-1P 121412-77-9P

RL: BPN (Biosynthetic preparation); IMF (Industrial manufacture); SPN
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl
7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)

RN 114876-72-1 CAPLUS

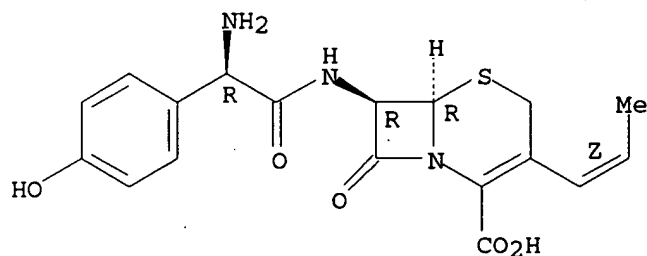
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1Z)-1-propenyl-
monohydrate, (6R,7R)- (9CI) (CA INDEX NAME)Absolute stereochemistry.
Double bond geometry as shown.



● H₂O

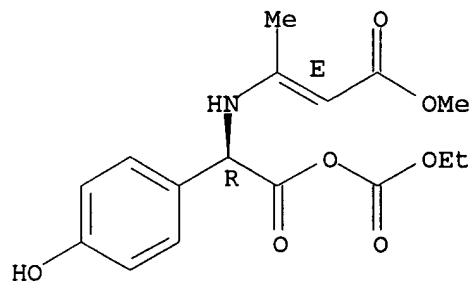
RN 121412-77-9 CAPLUS
 CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
 7-[[[(2R)-amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1Z)-1-propenyl]-,
 (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



IT 685836-17-3P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 3-propenyl cephalosporin DMF solvate from 4-methoxybenzyl 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylate)
 RN 685836-17-3 CAPLUS
 CN Benzeneacetic acid, 4-hydroxy- α -[[[(1E)-3-methoxy-1-methyl-3-oxo-1-propenyl]amino]-, anhydride with ethyl hydrogen carbonate, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



Berch 10/801,443

=> fil marpat

FILE 'MARPAT' ENTERED AT 13:13:08 ON 14 FEB 2006

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FILE CONTENT: 1969-PRESENT (VOL 144 ISS 7 (20060210/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6965040 15 NOV 2005

DE 1020040544 17 NOV 2005

EP 1600439 30 NOV 2005

JP 2005340161 08 DEC 2005

WO 2006003494 06 JAN 2006

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que nos l10

L1 STR

L10 1 SEA FILE=MARPAT SSS SAM L1

=> d all l10 1

L10 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN

AN 128:166425 MARPAT

TI Synthesis of β -lactam antibacterials using soluble side chain esters
and enzyme acylase

IN Usher, John J.; Romancik, Guna

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 15 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C12P037-00

ICS C12P037-02; C12P035-00; C12N015-00

CC 16-2 (Fermentation and Bioindustrial Chemistry)

FAN.CNT 1

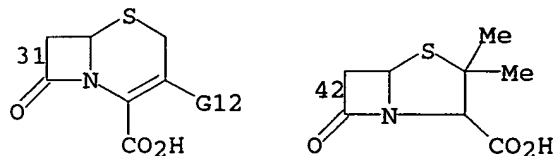
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	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	TW 555855	B	20031001	TW 1997-86108050	19970611
	CA 2253521	AA	19980205	CA 1997-2253521	19970715
	AU 9737264	A1	19980220	AU 1997-37264	19970715

AU 727543 B2 20001214
 EP 920527 A1 19990609 EP 1997-934136 19970715
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 JP 2000516215 T2 20001205 JP 1998-508841 19970715
 IL 126329 A1 20020210 IL 1997-126329 19970715
 US 5922907 A 19990713 US 1997-895640 19970717
 US 6156534 A 20001205 US 1998-177689 19981022
 KR 2000029604 A 20000525 KR 1999-700668 19990126
 AU 766148 B2 20031009 AU 2001-23037 20010216
 AU 2001023037 A5 20010719
 US 2003044884 A1 20030306 US 2002-264801 20021004
 PRAI US 1996-22622P 19960726
 AU 1997-37264 19970715
 WO 1997-US12181 19970715
 US 1997-895640 19970717
 US 2000-686724 20001011
 AB Disclosed is a process for the synthesis of β -lactam antibacterials using soluble side chain esters in the presence of enzyme acylase. Also disclosed are novel esters useful as reactants in said process. Manufacture of cefprozil with immobilized recombinant penicillin G amidase using hydroxyethyl ester of 4-hydroxy-D-phenylglycine as the acyl donor was shown.
 ST beta lactam manuf acylase acyl donor
 IT Fermentation
 (synthesis of β -lactam antibacterials using soluble side chain esters and enzyme acylase)
 IT Lactams
 RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
 (β -; synthesis of β -lactam antibacterials using soluble side chain esters and enzyme acylase)
 IT 1406-05-9P, Penicillin 11111-12-9P, Cephalosporin 26787-78-0P, Amoxicillin 50370-12-2P, Cefadroxil 92665-29-7P, Cefprozil
 RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
 (synthesis of β -lactam antibacterials using soluble side chain esters and enzyme acylase)
 IT 551-16-6, 6-APA 22252-43-3, 7-ADCA 203007-72-1 203007-73-2
 RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent)
 (synthesis of β -lactam antibacterials using soluble side chain esters and enzyme acylase)
 IT 9012-56-0, Acylase 9014-06-6
 RL: CAT (Catalyst use); USES (Uses)
 (synthesis of β -lactam antibacterials using soluble side chain esters and enzyme acylase)
 RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 RE
 (1) Fernandez-Lafuente; Enzyme and Microbial Technology 1996, V19, P9 CAPLUS
 (2) Gistbrocardes B V; WO 9602663 A1 1996 CAPLUS
 (3) I B S A Institut Biochimique S A; CH 640240 1983 CAPLUS
 (4) Novo Nordisk AS; WO 9201061 A1 1992 CAPLUS
 (5) Novo Nordisk AS; WO 9312250 A1 1993 CAPLUS
 (6) Novo Nordisk AS; WO 9323164 A1 1993 CAPLUS

MSTR 1

G11-NH₂

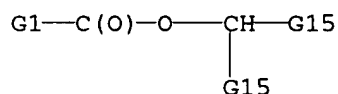
G11 = 31 / 42



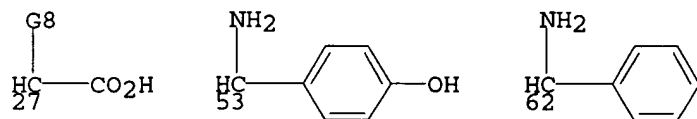
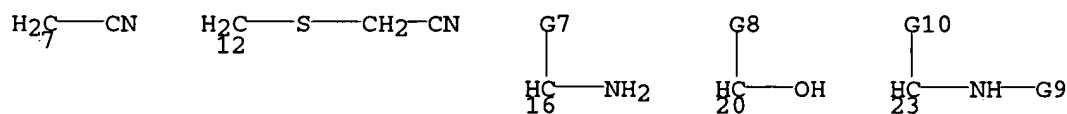
G12 = H / halo / alkyl <containing 1-3 C>
 (opt. substd. by 1 or more halo) /
 alkenyl <containing 2-4 C> / R <"nucleophilic group"> /
 alkyl <containing 1-3 C> (substd. by R <"nucleophilic group">
) / (Specifically claimed: CH=CHMe / Me)

Patent location: claim 1

MSTR 2



G1 = H / alkyl <containing 1 or more C>
 (opt. substd. by 1 or more G2) /
 cycloalkyl <containing 3-5 C> (opt. substd. by 1 or more G3)
 / alkenyl <containing 2-5 C> (opt. substd. by 1 or more G14)
 / cycloalkenyl <containing 3-5 C>
 (opt. substd. by 1 or more G3) / 7 / 12 / 16 / 20 / 23 / 27 /
 (Specifically claimed: 53 / 62)



G2 = cycloalkyl <containing 3-5 C>
 (opt. substd. by 1 or more G13) /
 cycloalkenyl <containing 3-5 C>
 (opt. substd. by 1 or more G13) /
 aryl <monocyclic> (opt. substd. by 1 or more G13) /
 aryloxy <monocyclic> (opt. substd. by 1 or more G13) /
 heterocycle <containing zero or more O, zero or more N,
 zero or more S> (opt. substd. by 1 or more G13) / 5 /

arylsulfonyl (opt. substd. by 1 or more G13) / loweralkyl /
CH₂NH₂ / halo / OH / loweralkanoyloxy / loweralkoxy

S—G4
5

- G3 = alkyl <containing 1-5 C> /
alkenyl <containing 2-5 C> / loweralkyl / CH₂NH₂ / halo /
OH / loweralkanoyloxy / loweralkoxy
- G4 = heterocycle <containing zero or more O,
zero or more N, zero or more S>
(opt. substd. by 1 or more G13)
- G7 = aryl <monocyclic> (opt. substd. by 1 or more G13) /
cycloalkenyl <monocyclic> (opt. substd. by 1 or more G13)
- G8 = aryl <monocyclic> (opt. substd. by 1 or more G13)
- G9 = acyl
- G10 = aryl (opt. substd. by 1 or more G13)
- G13 = loweralkyl / CH₂NH₂ / halo / OH / loweralkanoyloxy /
loweralkoxy
- G14 = cycloalkyl <containing 3-5 C> /
cycloalkenyl <containing 3-5 C> / loweralkyl / CH₂NH₂ /
halo / OH / loweralkanoyloxy / loweralkoxy
- G15 = H / 74

G16
|
HC—G16
74

G16 = H / loweralkyl (opt. substd. by OH)
Patent location: claim 1

MSTR 3

G1—C(O)—NH—G11

- G1 = H / alkyl <containing 1 or more C>
(opt. substd. by 1 or more G2) /
cycloalkyl <containing 3-5 C> (opt. substd. by 1 or more G3)
/ alkenyl <containing 2-5 C> (opt. substd. by 1 or more G14)
/ cycloalkenyl <containing 3-5 C>
(opt. substd. by 1 or more G3) / 7 / 12 / 16 / 20 / 23 / 27 /
(Specifically claimed: 53 / 62)

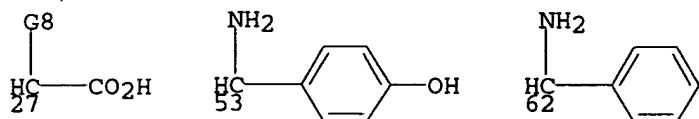
H₂C—CN
7

H₂C—S—CH₂—CN
12

G7
|
HC—NH₂
16

G8
|
HC—OH
20

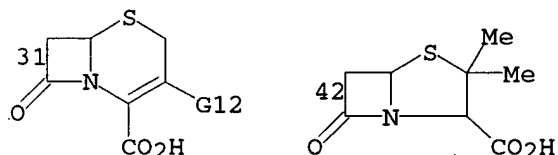
G10
|
HC—NH—G9
23



G2 = cycloalkyl <containing 3-5 C> /
 (opt. substd. by 1 or more G13) /
 cycloalkenyl <containing 3-5 C> /
 (opt. substd. by 1 or more G13) /
 aryl <monocyclic> (opt. substd. by 1 or more G13) /
 aryloxy <monocyclic> (opt. substd. by 1 or more G13) /
 heterocycle <containing zero or more O, zero or more N,
 zero or more S> (opt. substd. by 1 or more G13) / 5 /
 arylsulfonyl (opt. substd. by 1 or more G13) / loweralkyl /
 CH_2NH_2 / halo / OH / loweralkanoyloxy / loweralkoxy

G5 — G4

G3 = alkyl <containing 1-5 C> /
 alkenyl <containing 2-5 C> / loweralkyl / CH_2NH_2 / halo /
 OH / loweralkanoyloxy / loweralkoxy
 G4 = heterocycle <containing zero or more O,
 zero or more N, zero or more S>
 (opt. substd. by 1 or more G13)
 G7 = aryl <monocyclic> (opt. substd. by 1 or more G13) /
 cycloalkenyl <monocyclic> (opt. substd. by 1 or more G13)
 G8 = aryl <monocyclic> (opt. substd. by 1 or more G13)
 G9 = acyl
 G10 = aryl (opt. substd. by 1 or more G13)
 G11 = 31 / 42



G12 = H / halo / alkyl <containing 1-3 C>
 (opt. substd. by 1 or more halo) /
 alkenyl <containing 2-4 C> / R <"nucleophilic group"> /
 alkyl <containing 1-3 C> (substd. by R <"nucleophilic group">
) / (**Specifically claimed: $\text{CH}=\text{CHMe}$ / Me**)
 G13 = loweralkyl / CH_2NH_2 / halo / OH / loweralkanoyloxy /
 loweralkoxy
 G14 = cycloalkyl <containing 3-5 C> /
 cycloalkenyl <containing 3-5 C> / loweralkyl / CH_2NH_2 /
 halo / OH / loweralkanoyloxy / loweralkoxy
 Patent location: claim 1

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